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Synthesis of N^2, N^4-bis(4-nitro-1,3-benzothiazol-2-yl)-N^6-aryl-1,3,5-triazine-2,4,6-triamine as biological Agents

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ABSTRACT

Some novel N^2,N^4-bis(4-nitro-1,3-benzothiazol-2-yl)-N^6-aryl-1,3,5-triazine-2,4,6-triamine have been synthesized (1-14). The products tested for their antibacterial activity against gram (+)ve and gram (-)ve bacteria. Introduction of –OH, -NO_2, -Cl and –Br groups to the heterocyclic frame work enhanced antibacterial activities and antifungal activities.

Keywords: *1, 3, 5-triazine-2, 4, 6-triamines; Antibacterial activity, antifungal activities.*

INTRODUCTION

Cyanuric chloride has been known since 1827. When it was considered to be the trichloride of cyanogens it was much vital in field of organic chemistry. Liebig was determined its composition and its experimental value. Liebig prepared it by passing chlorine over dry potassium thiocyanate in reaction mechanism. Converted impure cyanogen chloride derivative to cyanuric chloride synthesized by Serullas [1]. Reactions take place with sun heat. The cyanuric chloride was considered to be an isomer. That result indicates that the chlorine atoms are on the Carbon atoms as shown in figure 1.

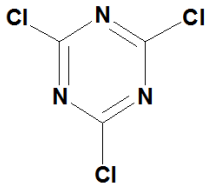


Figure: 1

The chloride atoms of cyanuric chloride show none of the reactions typical of an N-Cl bond [2]. Cyanuric chloride is the acid chloride of cyanuric acid. Alkyl chlorides are much active than chlorine atoms comparatively. Alkyl chlorides are more active than Acyl chlorides [3]. There is no similarity between cyanuric chloride and the inert aromatic halogens.

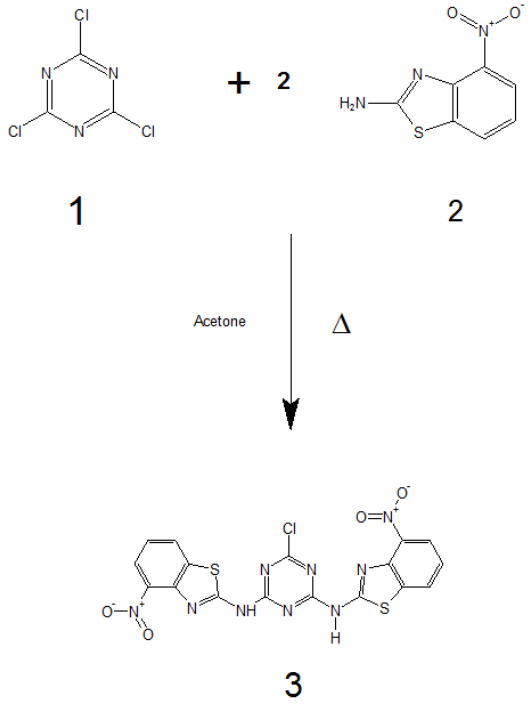
To alleviate cyanuric compounds appeared with promising therapeutic agents. These include s-triazine moieties which appear with good biological potential. They evaluated for their antibacterial activities. This work is concerned with the study of the effects of structural modification on the biological activities of the target compounds. The Cyanuric chloride [4-9] associated with therapeutic activities [10-12] in medicinal chemistry. Such as antibacterial [13], antifungal [14-15], anticancer [16], antimicrobial, analgesic, sedative, local anesthetic, and anti inflammatory. Cyanuric chloride [17-18] synthesized by the condensation of cyanuric chloride with different aromatic amines at different temperature in acetone.

EXPERIMENTAL MATHOD:

Step: 1

Preparation of 6-chloro-N, N'-bis (4-nitro-1,3-benzothiazol-2-yl)-1,3,5-triazine-2,4-diamine:

In a 250 ml RBF, 1,3,5-triazine (1) (0.01 mol), acetone (40 ml) and 4-nitro-1,3-benzothiazol-2-amine (2) (0.02 mol) was added. In to this mixture add NaOH for maintain basic medium or pH at room temperature. Stirred the solution for 5 h. The reaction mixture was pour onto crushed ice with constant stirring. And it was neutralized with dil. HCl. The solid was filtered and washed with water and the product was recrystallized from acetone (3).

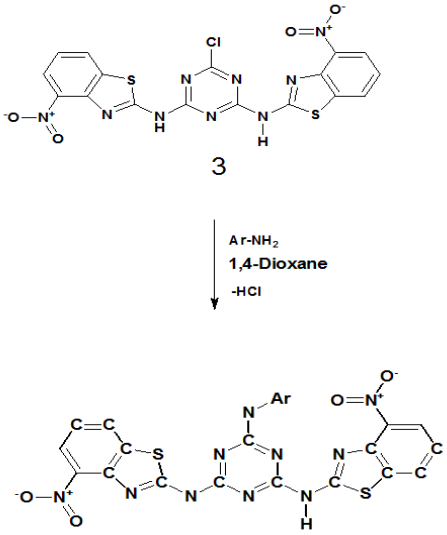


6-chloro-N, N'-bis (4-nitro-1,3-benzothiazol-2-yl)-1,3,5-triazine-2,4-diamine

Step: 2

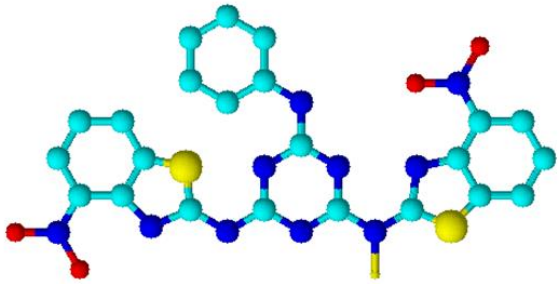
Preparation of N^2,N^4-bis(4-nitro-1,3-benzothiazol-2-yl)-N^6-aryl-1,3,5-triazine-2,4,6-triamine:

In a round bottom flask, 6-chloro-N, N'-bis(4-nitro-1, 3-benzothiazol-2-yl)-1, 3, 5-triazine-2, 4-diamine (3) (0.01 mol) and 1,4-dioxane (10 ml) was taken. To this mixture, substituted aromatic amine (0.01 mol) was added. The pH was adjusted to neutral by adding 8% NaOH in it. The reaction mixture was refluxed for 2.5 h. It was poured onto crushed ice with constant stirring. The mixture was then neutralized with dil. HCl. The product was filtered and washed with cold water. The product was dried and recrystallized from methanol.



N^2,N^4-bis(4-nitro-1,3-benzothiazol-2-yl)-N^6-aryl-1,3,5-triazine-2,4,6-triamine

3d view of compound-1:



Biological activity:

Antibacterial activity: Antibacterial activity was carried out by broth dilution method. Concentrations of compound 1 to 14 are 1000, 200, 250, 100, 125, 62.5, µg/ml respectively.

Antifungal activity: Same compounds were tested for antifungal activity against *C. Albicans A.Nigar and A. Clavatus* at a concentrations of 1000, 500, 200, and 100 and 50 µg/ml respectively of compound 1-14. The results are recorded in the form of primary and secondary screening. Each synthesized drug was diluted to obtain 1000 µg/ml concentration, as a stock solution.

Table 1: Physical constant of the compounds:

Sr No.	-Ar	MOLECULAR FORMULA	M. P. ^{O}C	YIELD (%)	% OF CARBON		% OF NITROGEN		MOLECULAR WEIGHT
					FOUND	REQD.	FOUND	REQD.	
1	-C_6H_5	$C_{23}H_{14}N_{10}O_4S_2$	240-242^{O}C	54	49.40	49.46	25.05	25.08	558.55
2	-3-Cl-C_6H_4	$C_{23}H_{13}ClN_{10}O_4S_2$	256-259^{O}C	59	46.56	46.58	23.61	23.62	592.99
3	-4-Cl-C_6H_4	$C_{23}H_{13}ClN_{10}O_4S_2$	262-264^{O}C	56	46.55	46.58	23.59	23.62	592.99
4	-3-NO_2-C_6H_4	$C_{23}H_{13}N_{11}O_6S_2$	285-288^{O}C	68	45.75	45.77	25.51	25.53	603.54
5	-4-NO_2-C_6H_4	$C_{23}H_{13}N_{11}O_6S_2$	281-283^{O}C	52	45.76	45.77	25.49	25.53	603.54
6	-4-Br-C_6H_4	$C_{23}H_{13}BrN_{10}O_4S_2$	196-200^{O}C	67	43.32	43.34	21.93	21.97	637.44
7	-4-F-C_6H_4	$C_{23}H_{13}FN_{10}O_4S_2$	273-275^{O}C	51	47.89	47.91	24.25	24.29	576.54
8	-2-C_5H_4N	$C_{22}H_{13}N_{11}O_4S_2$	246-249^{O}C	64	47.19	47.22	27.51	27.54	559.53
9	-4-C_5H_4N	$C_{22}H_{13}N_{11}O_4S_2$	232-234^{O}C	68	47.21	47.22	27.49	27.54	559.53
10	-N-CH_3-C_6H_4	$C_{24}H_{16}N_{10}O_4S_2$	250-251^{O}C	62	50.32	50.34	24.45	24.46	572.57
11	-4-CH_3-C_6H_4	$C_{24}H_{16}N_{10}O_4S_2$	236-239^{O}C	54	50.31	50.34	24.41	24.46	572.57
12	-2-NO_2-C_6H_4	$C_{23}H_{13}N_{11}O_6S_2$	279-281^{O}C	59	45.75	45.77	25.51	25.53	603.54
13	-3-OH-C_6H_4	$C_{23}H_{14}N_{10}O_5S_2$	241-245^{O}C	57	48.06	48.08	24.36	24.38	574.55
14	-4-OCH_3-C_6H_4	$C_{24}H_{16}N_{10}O_5S_2$	226-230^{O}C	64	48.95	48.98	23.79	23.80	588.57

Table 2: ANTIBACTERIAL AND ANTIFUNGAL ACTIVITIES:

Product Code	Minimal bactericidal concentration (MBC) in µg/ml				Minimal fungicidal concentration (MFC) in µg/ml		
	Gram negative bacteria		Gram positive bacteria		Fungus		
	E.coli	*P.aeruginosa*	*S.aureus*	*S.pyogenus*	*C.albicans*	*A.nigar*	*A.clavatus*
	MTCC 443	MTCC 1688	MTCC 96	MTCC 442	MTCC 227	MTCC 282	MTCC 1323
1	500	500	250	250	>1000	>1000	>1000
2	500	250	250	250	1000	1000	1000
3	62.5	200	100	250	200	250	500
4	100	100	62.5	250	500	200	250
5	125	200	200	500	250	200	1000
6	500	62.5	500	125	200	>1000	500
7	500	62.5	100	62.5	250	500	1000
8	500	62.5	500	250	1000	200	1000
9	125	500	100	500	250	200	>1000
10	200	500	125	125	250	200	500
11	500	100	62.5	500	1000	1000	1000
12	500	62.5	500	62.5	250	1000	500
13	62.5	100	500	500	200	>1000	500
14	125	500	62.5	125	1000	200	500
Gentamycin	0.05	1	0.25	0.5	--	--	--
Ampicillin	100	--	250	100	--	--	--
Chloramphenicol	50	50	50	50	--	--	--
Ciprofloxacin	25	25	50	50	--	--	--
Norfloxacin	10	10	10	10	--	--	---
Nystatin	--	--	--	--	100	100	100
Greseofulvin	--	--	--	--	500	100	100

CONCLUSION

Now a day there are many important uses of cyanuric chloride like antimicrobial and anti-infective activity. This thesis consists of the overall comparison of the compound synthesized in my research work. Out of them triazine derivatives possesses remarkable pharmaceutical importance and biological activities.

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